

10/542351

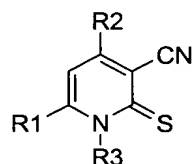
JC17 Rec'd PCT/PTO 14 JUL 2005

**Amendments to the Claims**

Please cancel Claims 9-11, 14, 18, 20, 23, 25, 28, 30, 33, 35-36, 40, 43, 45, 47, 50 and 52. Please amend Claims 15, 24, 26, 34, 41, 44 and 48. The Claim Listing below will replace all prior versions of the claims in the application:

**Claim Listing**

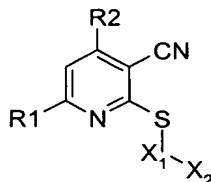
1. (Original) A method of treating a subject for a bacterial infection, comprising the step of administering to the subject an effective amount of:
- i) a compound represented by the following structural formula, or a pharmaceutically acceptable salt thereof:



wherein

- R1 and R2 are independently monocyclic aryl or heteroaryl groups, wherein the groups represented by R1 and R2 are optionally substituted with triazole, tetrazole, or one or more acyclic substituents provided that R1 is not thienyl when R2 is alkoxy-substituted phenyl;
- R3 is -H or an optionally substituted C1-C8 aliphatic, C3-C8 cycloaliphatic, aryl, or heteroaryl group; or

- ii) a compound represented by the following structural formula, or a pharmaceutically acceptable salt thereof:



wherein

R1 and R2 are independently monocyclic aryl or heteroaryl groups, wherein the groups represented by R1 and R2 are optionally substituted with triazole, tetrazole, or one or more acyclic substituents;

X1 is a bond or a C1-C3 alkylene chain that is optionally substituted with a C1-C4 alkyl, triazole, tetrazole, or an acidic group;

X2 is an aryl, heteroaryl or C3-C8 cycloaliphatic ring, wherein the group represented by X2 is optionally substituted with triazole, tetrazole, and/or one or more acyclic substituents;

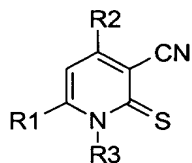
or X2 is triazole, tetrazole, an acidic group,  $-(\text{CO})\text{NR}^a\text{R}^b$ ,  $-(\text{C}=\text{NH})\text{NR}^a\text{R}^b$ , or  $-(\text{CS})\text{NR}^a\text{R}^b$ , wherein

$\text{R}^a$  and  $\text{R}^b$  are independently -H or an optionally substituted group selected from aryl, heteroaryl, C3-C8 cycloaliphatic, and C1-C4 alkyl; or

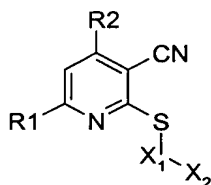
$\text{R}^a$  and  $\text{R}^b$ , taken together with the nitrogen to which they are bonded, are an optionally substituted non-aromatic heterocyclic group.

2. (Original) The method of Claim 1 wherein the subject is human.
3. (Original) The method of Claim 2 wherein the bacterial infection is from a bacterium that expresses a *fabI* protein .
4. (Original) The method of Claim 2 wherein the bacterial infection is from *Acinetobacter baumannii*, *Bacillus anthracis*, *Citrobacter* sp., *Escherichia coli*, *Enterobacter* sp., *Enterococcus faecalis*, *Enterococcus faecium*, *Francisella tularensis*, *Haemophilus influenzae*, *Klebsiella* sp., *Listeria monocytogenes*, *Moraxella catarrhalis*, *Mycobacterium tuberculosis*, *Neisseria meningitidis*, *Proteus mirabilis*, *Proteus vulgaris*, *Pseudomonas aeruginosa*, *Salmonella* sp., *Serratia* sp., *Shigella* sp., *Stenotrophomonas maltophilia*, *Staphylococcus aureus*, or *Staphylococcus epidermidis*.

5. (Original) The method of Claim 3 wherein R1 and R2 are independently selected from optionally substituted phenyl, pyridyl, pyrazinyl, pyrimidyl, triazinyl, thienyl, furanyl, pyrrolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, and isoxazolyl.
6. (Original) The method of Claim 5 wherein the groups represented by R1 and R2 are optionally substituted with halogen, -OH, -R<sup>d</sup>, -OR<sup>d</sup>, triazole, tetrazole, carboxyl, sulfate, sulfonate, -NO<sub>2</sub>, -NH<sub>2</sub>, -NHCOR<sup>d</sup>, -CONR<sup>e</sup><sub>2</sub>, -NR<sup>e</sup><sub>2</sub>, or -SO<sub>2</sub>NH<sub>2</sub>;  
wherein  
each R<sup>d</sup> is independently a C1-C4 alkyl optionally substituted with 1, 2, or 3 halogens;  
each R<sup>e</sup> is an independently selected C1-C4 alkyl, or both R<sup>e</sup>, taken together with the nitrogen atom to which they are bonded, are a 4 to 7 membered non-aromatic heterocyclic group.
7. (Original) The method of Claim 6 wherein the compound is represented by the following structural formula:



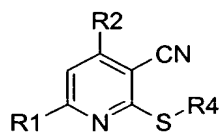
8. (Original) The method of Claim 7 wherein R1 and R2 are independently selected from optionally substituted phenyl, pyridyl, thienyl, furanyl, and pyrrolyl.
- 9-11. (Cancelled)
12. (Original) The method of Claim 6 wherein the compound is represented by the following structural formula:



wherein

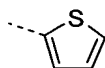
X1 is a bond or a C1-C3 alkylene chain that is optionally substituted with C1-C4 alkyl, triazole, tetrazole, carboxyl, sulfate or sulfonate; and  
X2 is  $-(CO)NR^aR^b$  or an optionally substituted aryl or heteroaryl group.

13. (Original) The method of Claim 12 wherein X2 is an optionally substituted phenyl, pyridyl, thienyl, furanyl, or pyrrolyl.
14. (Cancelled)
15. (Currently Amended) The method of Claim ~~14~~ 13 wherein  
X1 is a C1-C2 alkylene chain optionally substituted with methyl; and  
X2 is a phenyl substituted with  
a triazole, tetrazole,  $-CH_2CO_2H$ ,  $-CH_2CH_2CO_2H$ , carboxyl, or  
 $-NHCOCH_3$ ; and  
optionally one or more groups selected from halogen,  $-R^d$ ,  $-OR^d$ ,  $-NO_2$ , sulfate, and sulfonate.
16. (Original) The method of Claim 15 wherein X2 is a phenyl substituted with carboxyl or  $-NHCOCH_3$ .
17. (Original) The method of Claim 12 wherein  
X1 is a C1-C2 alkylene chain substituted with triazole, tetrazole, or carboxyl;  
and  
X2 is a phenyl or heteroaryl group optionally substituted with halogen,  $-R^d$ ,  $-OR^d$ ,  $-NHCOR^d$ ,  $-CONR^e_2$ , triazole, tetrazole, carboxyl,  $-NO_2$ , sulfate, or sulfonate.
18. (Cancelled)
19. (Original) The method of Claim 12 wherein the compound is represented by the following structural formula:

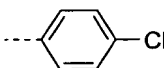


wherein

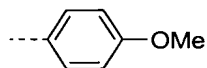
R1 is selected from structural formulas R1<sup>a</sup>-R1<sup>c</sup>:



R1<sup>a</sup>



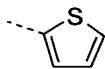
R1<sup>b</sup>



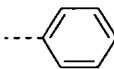
R1<sup>c</sup>

;

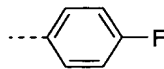
R2 is selected from structural formulas R2<sup>a</sup>-R2<sup>e</sup>:



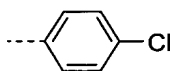
R2<sup>a</sup>



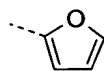
R2<sup>b</sup>



R2<sup>c</sup>



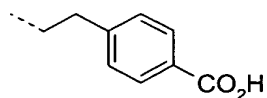
R2<sup>d</sup>



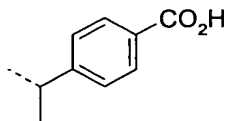
R2<sup>e</sup>

; and

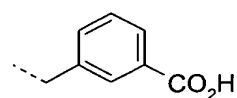
R4 is selected from structural formulas R4<sup>a</sup>-R4<sup>f</sup>:



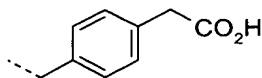
R4<sup>a</sup>



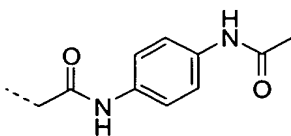
R4<sup>b</sup>



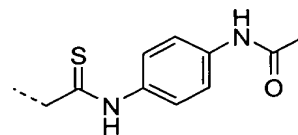
R4<sup>c</sup>



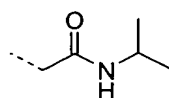
R4<sup>d</sup>



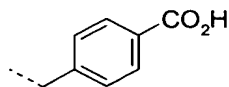
R4<sup>e</sup>



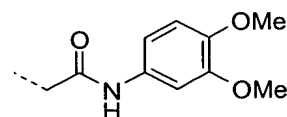
R4<sup>f</sup>



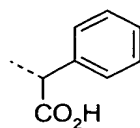
R4g



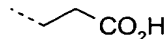
R4h



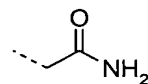
R4i



R4j



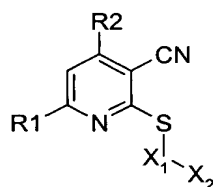
R4k



R4l

20. (Cancelled)

21. (Original) A compound represented by the following structural formula:



or a pharmaceutically acceptable salt thereof, wherein

R1 and R2 are independently monocyclic aryl or heteroaryl groups, wherein the groups represented by R1 and R2 are optionally substituted with triazole, tetrazole, or one or more acyclic substituents;

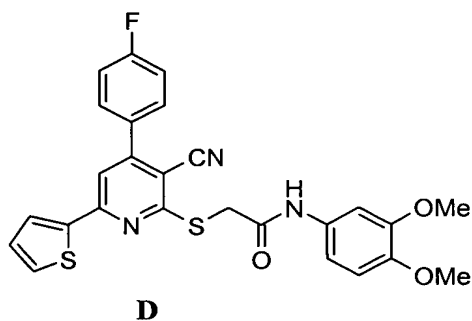
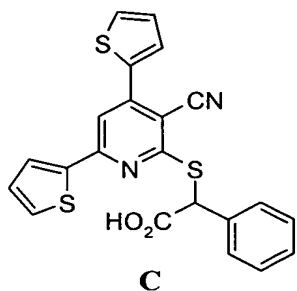
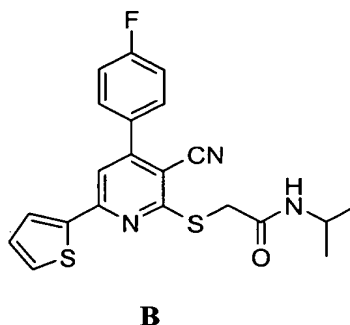
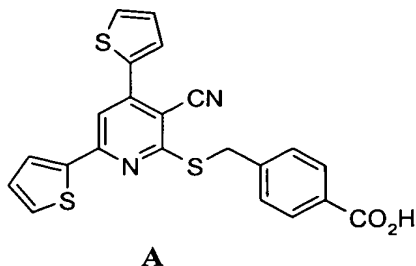
X1 is a bond or a C1-C3 alkylene chain that is optionally substituted with a C1-C4 alkyl, triazole, tetrazole, or an acidic group;

X2 is an aryl or heteroaryl ring, wherein the group represented by X2 is optionally substituted with triazole, tetrazole, and/or one or more acyclic substituents;

or X2 is triazole, tetrazole,  $-(CO)NR^aR^b$ ,  $-(C=NH)NR^aR^b$ , or  $-(CS)NR^aR^b$ , wherein

$R^a$  and  $R^b$  are independently -H or an optionally substituted group selected from aryl, heteroaryl, and C1-C4 alkyl; provided that both  $R^a$  and  $R^b$  are not -H; and

provided that the compound is not represented by one of structural formulas **A**, **B**, **C**, or **D**:



22. (Original) The compound of Claim 21 wherein R1 and R2 are independently selected from optionally substituted phenyl, pyridyl, pyrazinyl, pyrimidyl, triazinyl, thienyl, furanyl, pyrrolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, and isoxazolyl.
23. (Cancelled)
24. (Currently Amended) The compound of Claim ~~23~~ 22 wherein:  
the groups represented by R1 and R2 are optionally substituted with halogen, -OH, -R<sup>d</sup>, -OR<sup>d</sup>, -NO<sub>2</sub>, -NH<sub>2</sub>, -NHCOR<sup>d</sup>, -CONR<sup>e</sup>, -NR<sup>e</sup>, or -SO<sub>2</sub>NH<sub>2</sub>;  
each R<sup>d</sup> is independently a C1-C4 alkyl optionally substituted with 1, 2, or 3 halogens;  
each R<sup>e</sup> is an independently selected C1-C4 alkyl, or both R<sup>e</sup>, taken together with the nitrogen atom to which they are bonded, are a 4 to 7

membered non-aromatic heterocyclic group X1 is a bond or a C1-C3 alkylene chain that is optionally substituted with C1-C4 alkyl, triazole, tetrazole, -CH<sub>2</sub>COOH, -CH<sub>2</sub>CH<sub>2</sub>COOH, carboxyl, sulfate or sulfonate;

X1 is a bond or a C1-C3 alkylene chain that is optionally substituted with C1-C4 alkyl, triazole, tetrazole, -CH<sub>2</sub>COOH, -CH<sub>2</sub>CH<sub>2</sub>COOH, carboxyl, sulfate or sulfonate; and

X2 is triazole, tetrazole, -(CO)NR<sup>a</sup>R<sup>b</sup> or an optionally substituted aryl or heteroaryl group.

25. (Cancelled)

26. (Currently Amended) The compound of Claim ~~25~~ 24 wherein X2 is a phenyl substituted with halogen, -R<sup>d</sup>, -OR<sup>d</sup>, -NHCOR<sup>d</sup>, -CONR<sup>e</sup><sub>2</sub>, triazole, tetrazole, -CH<sub>2</sub>COOH, -CH<sub>2</sub>CH<sub>2</sub>COOH, carboxyl, -NO<sub>2</sub>, sulfate, or sulfonate.

27. (Original) The compound of Claim 26 wherein

X1 is a C1-C2 alkylene chain optionally substituted with methyl; and

X2 is a phenyl substituted with

a triazole, tetrazole, -CH<sub>2</sub>CO<sub>2</sub>H, -CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>H, carboxyl, or -NHCOCH<sub>3</sub>; and

optionally at least one group selected from halogen, -R<sup>d</sup>, -OR<sup>d</sup>, -NO<sub>2</sub>, sulfate, and sulfonate.

28. (Cancelled)

29. (Original) The compound of Claim 24 wherein

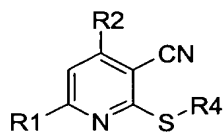
X1 is a C1-C2 alkylene chain substituted with triazole, tetrazole, or carboxyl; and

X2 is a phenyl or heteroaryl group optionally substituted with halogen, -R<sup>d</sup>, -OR<sup>d</sup>, -NHCOR<sup>d</sup>, -CONR<sup>e</sup><sub>2</sub>, triazole, tetrazole, carboxyl, -NO<sub>2</sub>, sulfate, or sulfonate.

30. (Cancelled)

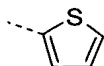


31. (Original) A compound represented by the following structural formula:

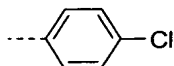


wherein

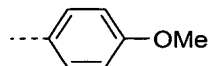
R1 is selected from structural formulas R1<sup>a</sup>-R1<sup>c</sup>:



R1<sup>a</sup>



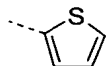
R1<sup>b</sup>



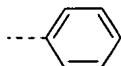
R1<sup>c</sup>

;

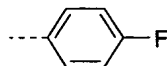
R2 is selected from structural formulas R2<sup>a</sup>-R2<sup>e</sup>:



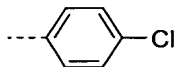
R2<sup>a</sup>



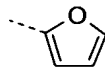
R2<sup>b</sup>



R2<sup>c</sup>



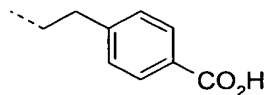
R2<sup>d</sup>



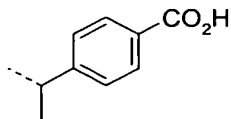
R2<sup>e</sup>

; and

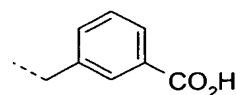
R4 is selected from structural formulas R4<sup>a</sup>-R4<sup>f</sup>:



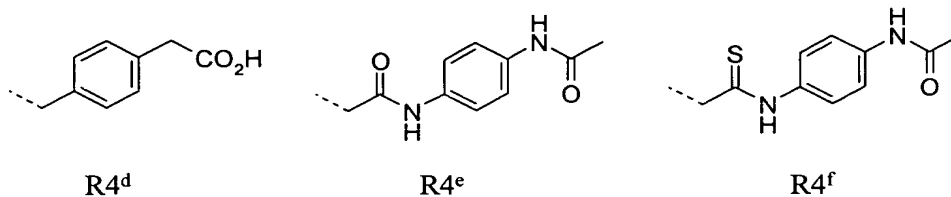
R4<sup>a</sup>



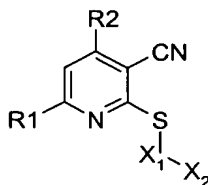
R4<sup>b</sup>



R4<sup>c</sup>



32. (Original) The compound of Claim 31 wherein  
R1 is the group represented by structural formula R1<sup>b</sup>;  
R2 is the group represented by structural formula R2<sup>a</sup>; and  
R4 is the group represented by structural formula R4<sup>f</sup>.
33. (Cancelled)
34. (Currently Amended) The compound of Claim 31 wherein R1 is the group represented by structural formula R1<sup>a</sup>, R2 is the group represented by structural formula R2<sup>a</sup> and R4 is selected from the groups represented by structural formulas R4<sup>a</sup>-R4<sup>e</sup>.
- 35-36. (Cancelled)
37. (Original) The compound of Claim 31 wherein  
R2 is selected from group represented by structural formulas R2<sup>b</sup>, R2<sup>c</sup>, and R2<sup>e</sup>; and  
R4 is selected from group represented by structural formulas R4<sup>e</sup> and R4<sup>g</sup>.
38. (Original) A pharmaceutical composition, comprising a pharmaceutically acceptable carrier or diluent and a compound represented by the following structural formula:

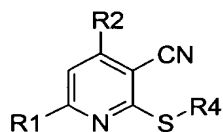


or pharmaceutically acceptable salts thereof, wherein

R1 and R2 are independently monocyclic aryl or heteroaryl groups, wherein the groups represented by R1 and R2 are optionally substituted with triazole, tetrazole, or one or more acyclic substituents;  
X1 is a bond or a C1-C3 alkylene chain that is optionally substituted with a C1-C4 alkyl, triazole, tetrazole, or an acidic group;  
X2 is an aryl or heteroaryl, wherein the group represented by X2 is optionally substituted with triazole, tetrazole, and/or one or more acyclic substituents;  
or X2 is triazole, tetrazole, an acidic group,  $-(CO)NR^aR^b$ ,  $-(C=NH)NR^aR^b$ , or  $-(CS)NR^aR^b$ , wherein  
R<sup>a</sup> and R<sup>b</sup> are independently -H or an optionally substituted group selected from aryl, heteroaryl, and C1-C4 alkyl, provide that if both R<sup>a</sup> and R<sup>b</sup> are -H, neither R1 nor R2 are furanyl or pyridyl.

39. (Original) The composition of Claim 38 wherein R1 and R2 are independently selected from optionally substituted phenyl, pyridyl, pyrazinyl, pyrimidyl, triazinyl, thienyl, furanyl, pyrrolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, and isoxazolyl.
40. (Cancelled)
41. (Currently Amended) The composition of Claim 40 ~~39~~ wherein:  
the groups represented by R1 and R2 are optionally substituted with halogen, -OH, -R<sup>d</sup>, -OR<sup>d</sup>, -NO<sub>2</sub>, -NH<sub>2</sub>, -NHCOR<sup>d</sup>, -CONR<sup>e</sup>, -NR<sup>e</sup>, or -SO<sub>2</sub>NH<sub>2</sub>;  
each R<sup>d</sup> is independently a C1-C4 alkyl optionally substituted with 1, 2, or 3 halogens;  
each R<sup>e</sup> is an independently selected C1-C4 alkyl, or both R<sup>e</sup>, taken together with the nitrogen atom to which they are bonded, are a 4 to 7 membered non-aromatic heterocyclic group;  
X1 is a bond or a C1-C3 alkylene chain that is optionally substituted with C1-C4 alkyl, triazole, tetrazole, carboxyl, sulfate or sulfonate; and  
X2 is triazole, tetrazole, carboxyl,  $-(CO)NR^aR^b$  or an optionally substituted aryl or heteroaryl group.

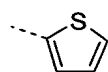
42. (Original) The composition of Claim 41 wherein X2 is an optionally substituted phenyl, pyridyl, thienyl, furanyl, or pyrrolyl.
43. (Cancelled)
44. (Currently Amended) The composition of Claim ~~43~~ 42 wherein  
X1 is a C1-C2 alkylene chain optionally substituted with methyl; and  
X2 is a phenyl substituted with  
a triazole, tetrazole, -CH<sub>2</sub>CO<sub>2</sub>H, -CH<sub>2</sub>CH<sub>2</sub>CO<sub>2</sub>H, carboxyl, or  
-NHCOCH<sub>3</sub>; and  
optionally one or more groups selected from halogen, -R<sup>d</sup>, -OR<sup>d</sup>, -NO<sub>2</sub>,  
sulfate, and sulfonate.
45. (Cancelled)
46. (Original) The composition of Claim 41 wherein  
X1 is a C1-C2 alkylene chain substituted with triazole, tetrazole, -CH<sub>2</sub> or  
carboxyl; and  
X2 is a phenyl or heteroaryl group optionally substituted with halogen, -R<sup>d</sup>,  
-OR<sup>d</sup>, -NHCOR<sup>d</sup>, -CONR<sup>e</sup><sub>2</sub>, triazole, tetrazole, carboxyl, -NO<sub>2</sub>, sulfate,  
or sulfonate.
47. (Cancelled)
48. (Currently Amended) The composition of Claim ~~47~~ 46 wherein the compound is  
represented by the following structural formula:



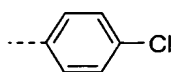
wherein

X2 is an unsubstituted phenyl or heteroaryl group;

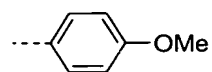
R1 is selected from structural formulas R1<sup>a</sup>-R1<sup>c</sup>:



R1<sup>a</sup>



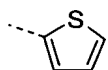
R1<sup>b</sup>



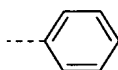
R1<sup>c</sup>

;

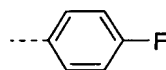
R2 is selected from structural formulas R2<sup>a</sup>-R2<sup>e</sup>:



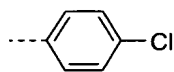
R2<sup>a</sup>



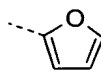
R2<sup>b</sup>



R2<sup>c</sup>



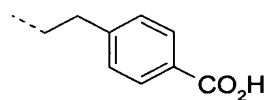
R2<sup>d</sup>



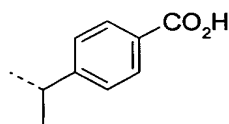
R2<sup>e</sup>

; and

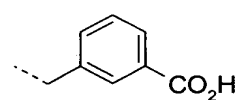
R4 is selected from structural formulas R4<sup>a</sup>-R4<sup>i</sup>:



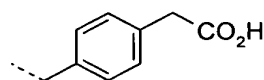
R4<sup>a</sup>



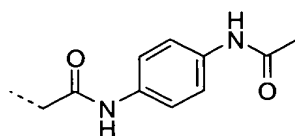
R4<sup>b</sup>



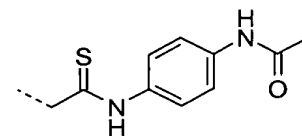
R4<sup>c</sup>



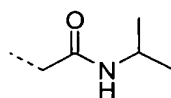
R4<sup>d</sup>



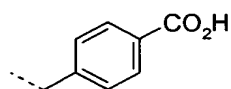
R4<sup>e</sup>



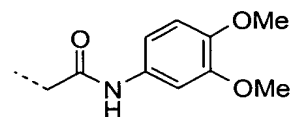
R4<sup>f</sup>



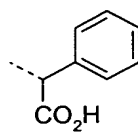
R4<sup>g</sup>



R4<sup>h</sup>

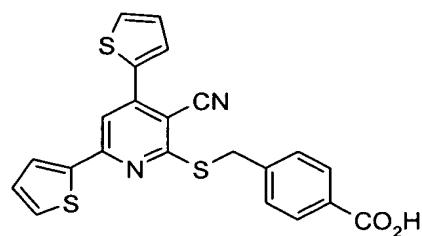


R4<sup>i</sup>

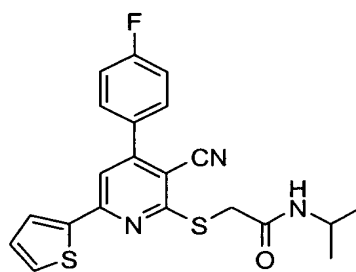


R<sub>4j</sub>

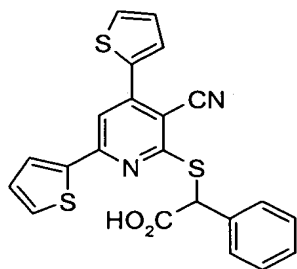
49. (Original) The composition of Claim 48 wherein the compound is represented by one of structural formulas **A** to **O**:



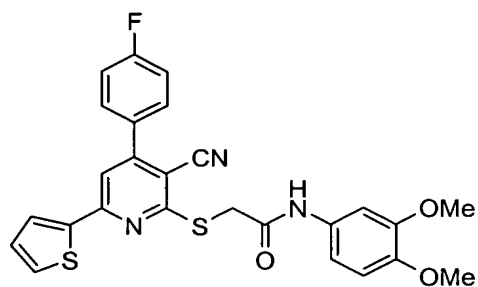
**A**



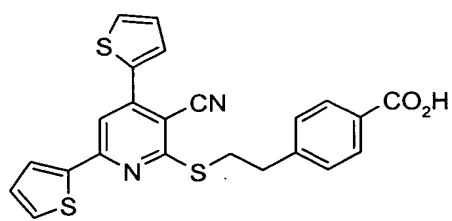
**B**



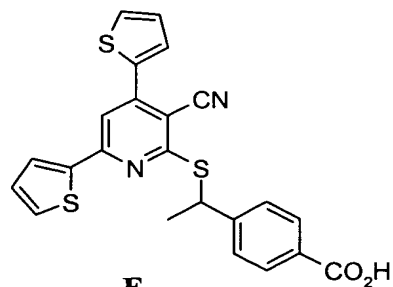
**C**



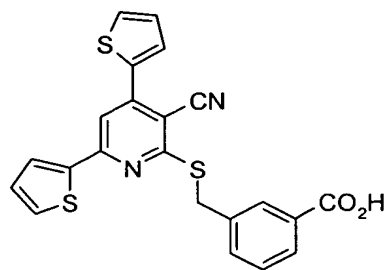
**D**



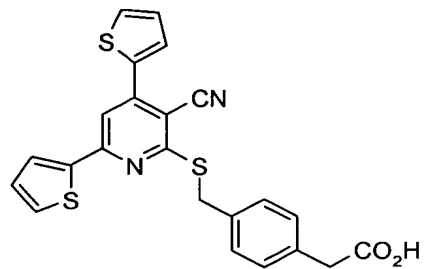
**E**



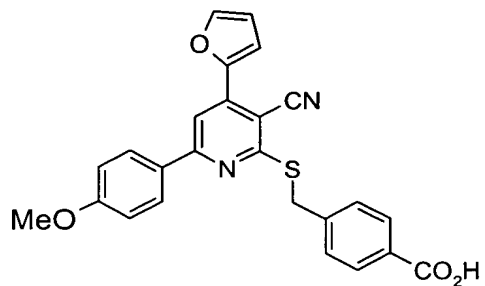
**F**



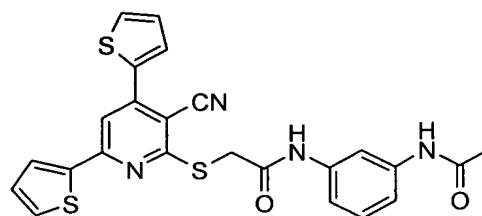
**G**



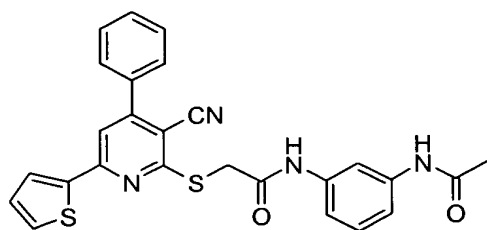
**H**



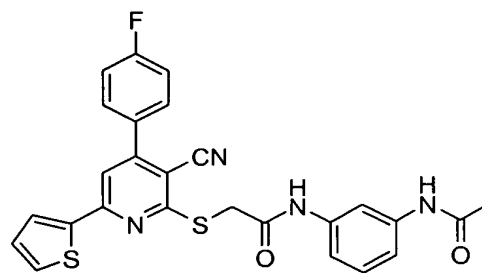
**I**



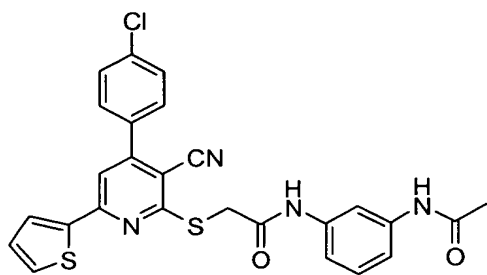
**J**



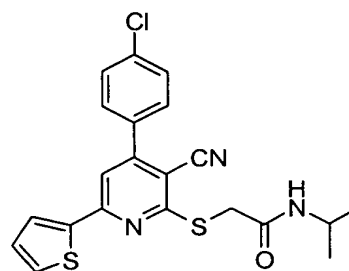
**K**



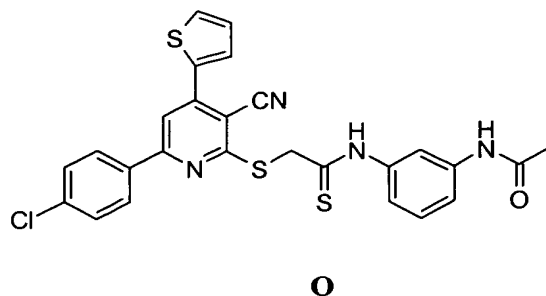
**L**



**M**

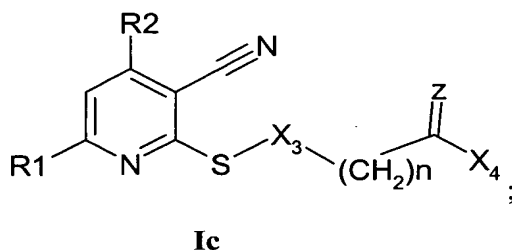


**N**



50. (Cancelled)

51. (Original) A compound represented by structural formula **Ic**:

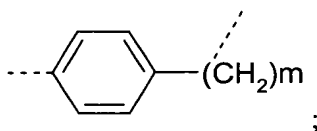


or a pharmaceutically acceptable salt thereof, wherein:

R1 and R2 are independently monocyclic aryl or heteroaryl groups, wherein the groups represented by R1 and R2 are optionally substituted with triazole, tetrazole, or one or more acyclic substituents;

Z is O, S or NR<sup>f</sup>;

X3 is: i) a bond; ii) a C1-C3 alkylene chain that is optionally substituted with a C1-C4 alkyl group or an aromatic group; or iii) a group represented by:



n and m are independently 0 or 1;

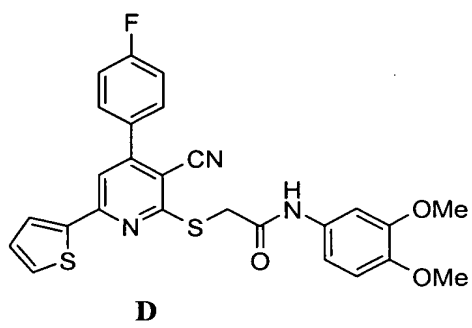
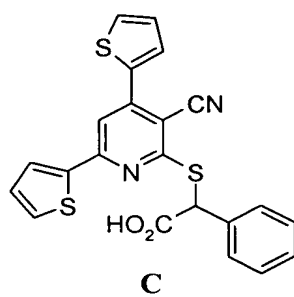
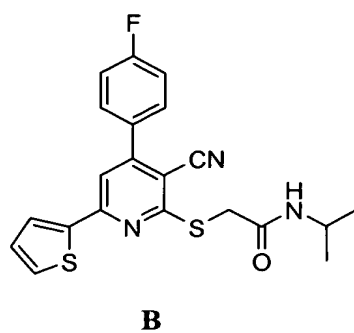
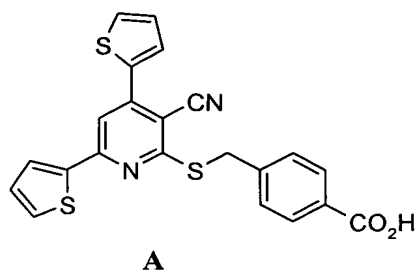
X4 is -OH or -NR<sup>g</sup>R<sup>h</sup>;

R<sup>f</sup> is H or a C1-C4 alkyl group; and

R<sup>g</sup> and R<sup>h</sup> are independently -H or an optionally substituted group selected from: i) aryl that is optionally substituted with one or two C1-C4 alkyl groups, alkoxy groups or acetamido groups; ii) heteroaryl; iii) C3-C8 cycloaliphatic or C1-C6 straight or branched alkyl



provided that the compound is not represented by one of structural formulas **A**, **B**, **C**, or **D**:



52. (Cancelled)